PATENT FILED:02/06/2002

DOCKET NO.: IBIS-0403(IBIS0055-100)

**SERIAL NO.: 10/071,978** 

In the Claims:

please cancel, or verify to have been canceled, claims 2, 22-62, 64, 95 and 98-106.

Please amend claims 1, 7, 8, 11, 63 and 65 to read as follows:

1.(Twice Amended): A compound having the formula I:

$$Q_1$$
 $Q_2$ 
 $Q_3$ 
 $R_1$ 
 $I$ 

wherein:

Q<sub>1</sub>is CR<sub>3</sub>;

Q2 is CR4;

Q<sub>3</sub> is CH CR<sub>20</sub>;

 $Q_4$  is N;

 $R_1$  is H, aryl, arylalkyl, heteroaryl; heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl, alkoxyalkoxyalkyl, alkyl-S-R<sub>7</sub>, alkyl-NH-C(=O)-R<sub>8</sub> or -R<sub>9</sub>-X-R<sub>10</sub>-R<sub>11</sub>)H;

wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl,

heterocycloalkyl, arylsulfonyl, aryloxycarbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R<sub>1</sub> groups can be optionally substituted with up to 5 groups independently selected from the group consisting of C<sub>1</sub>-C<sub>6</sub> alkyl, OH, hydroxyalkyl, -C(=O)-R<sub>5</sub>; CN, aryl, alkoxycarbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with halogen, heterocycloalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO<sub>2</sub>, halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloalkylaryl, alkyl-NR<sub>15</sub>R<sub>16</sub> and NR<sub>15</sub>R<sub>16</sub>;

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or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl or alkoxyalkoxyalkyl moieties of one of said R<sub>1</sub> groups can be attached to a structure of Formula I at position R<sub>1</sub> thereof;

R<sub>3</sub> and R<sub>4</sub> are independently each H, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy, NR15R16, and NO2, wherein said C1-C6 alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with  $NR_{15}R_{16}$ ;

R<sub>5</sub> is H, -NHNHR<sub>6</sub>, -NHN=CH-R<sub>6</sub>, heteroaryl, heterocycloalkyl, wherein said hereteroaryl group can be optionally substituted with an aryl or heteroaryl group,

 $R_6$  is aryl, heteroaryl; arylsulfonyl, heteroarylsulfonyl, -C(=S)-NH-aryl, -C(=S)-NH-arylcarbonyl, -C(=S)-NH-heteroarylcarbonyl, -C(=S)-NH-alkylene-R21, -C(=O)-NHaryl, -C(=O)-NH-arylcarbonyl, -C(=O)-NH-heteroarylcarbonyl, or -C(=O)-NH-alkylene- $R_{21}$  where  $R_{21}$  is carboxy, alkoxycarbonyl, aryl, heteroaryl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R6 groups can be optionally substituted with up to 3 groups selected from NR<sub>15</sub>R<sub>16</sub>, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy, arylalkyloxy, NO2, -SH, -S-alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula -OC2CH2-O- attached to adjacent atoms of said R6 group; R7 is heteroaryl or heterocycloalkyl;

R<sub>8</sub> is aryl;

 $R_9$  and  $R_{10}$  are each independently alkylene having from 1 to about 20 carbons;

 $X is -N(R_{12})-, -C(R_{13})(R_{14})- or O;$ 

R11 is H, heterocycloaryl, or alkoxy, wherein said heterocycloaryl, or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxycarbonyl, and CN;

 $R_{17}$  is H or  $C_1$ - $C_6$  alkyl; and

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 $R_{13}$  and  $R_{14}$  are each independently H or  $C_1\text{-}C_6$  alkyl,

 $R_{15}$  is H, halogen,  $C_{1-12}$  alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula  $CH_2(CHOH)_4CH_2OH$ ,

wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R<sub>16</sub> is H, halogen, or C<sub>1</sub>-C<sub>6</sub> alkyl;

or R<sub>15</sub> and R<sub>16</sub> together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO<sub>2</sub> and halogen, or a group of Formula I at position R<sub>1</sub> threreof;

or R<sub>15</sub> and R<sub>16</sub> together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is Q4 thereof; provided that when R<sub>3</sub> and R<sub>4</sub> are H, R<sub>1</sub> is not:

<u>II.</u> methyl, CH2-C(=0)-O A-where A is a cyclopentacyclocton-8-yl etser, 1 (1-methylcyclophetyl)piperidin-4-yl, 1-(1-phenylcyclophetyl)piperidin-4-yl, or ethoxyethyl.

## 2. (Canceled):

- 3. (Previously amended): The compound of claim 1 wherein R<sub>3</sub> and R<sub>4</sub> are each independently halogen, amino, NO<sub>2</sub>, CN, C<sub>1-6</sub> alkoxy or C<sub>1-6</sub> alkyl optionally substituted with up to 3 halogen atoms.
- 4. (Previously amended): The compound of claim 1 wherein R<sub>3</sub> and R<sub>4</sub> are each independently halogen, amino, or NO<sub>2</sub>.

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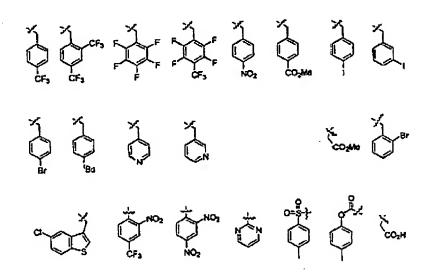
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- 5. (Previously amended): The compound of claim 1 wherein R<sub>3</sub> and R<sub>4</sub> are each independently halogen.
- 6. (Previously amended): The compound of claim 1 wherein R<sub>3</sub> and R<sub>4</sub> are each chlorine.
- 7. (Currently amended): The compound of claim 1 wherein R<sub>1</sub> is alkyl, alkyl substituted with alkoxycarbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO2, alkoxycarbonyl, and alkyl.
- 8. (Currently amended): The compound of claim 6 wherein R<sub>1</sub> is alkyl, alkyl substituted with alkoxycarbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO2, alkoxycarbonyl, and alkyl.
- 9. (Original): The compound of claim 7 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF<sub>3</sub>, F, Cl, NO<sub>2</sub>, COOCH<sub>3</sub>, I, Br, and t-butyl.
- 10. (Original): The compound of claim 8 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF<sub>3</sub>, F, Cl, NO<sub>2</sub>, COOCH<sub>3</sub>, I, Br, and t-butyl.

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11. (Currently Amended): The compound of claim 1 wherein said R<sub>1</sub> is selected from the radicals consisting of:



- 12. (Previously amended): The compound of claim 1 wherein R1 is alkyl substituted with - $C(=O)-R_5$ .
- 13. (Original): The compound of claim 12 wherein R5 is -NHNHR6, or -NHN=CH-R6.
- 14. (Original): The compound of claim 13 wherein R<sub>5</sub> is -NHNHR<sub>6</sub>.
- 15. (Original): The compound of claim 13 wherein R<sub>5</sub> is -NHN=CH-R<sub>6</sub>.
- 16. (Original): The compound of claim 14 wherein R<sub>6</sub> is -C(=O)-NH-aryl, -C(=O)-NHcycloalkyl,-C(=S)-NH-aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, -C(=S)-NH-alkylene-R21 where R21 is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally

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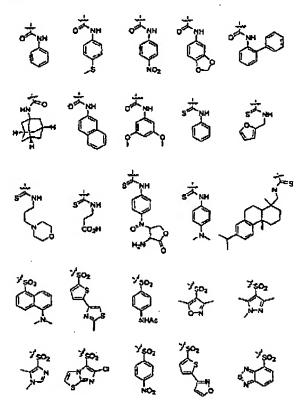
having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof, wherein any of said  $R_6$  groups can be optionally substituted with up to 3 groups selected from NR<sub>15</sub>R<sub>16</sub>, NO<sub>2</sub>, a moiety of formula -OC<sub>2</sub>CH<sub>2</sub>-O- attached to adjacent atoms of said  $R_6$  group, aryl,  $C_{1-6}$  alkoxy, carboxy, or  $C_{1-6}$  trihaloalkoxy.

17. (Original): The compound of claim 15 wherein R<sub>6</sub> is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH, C1-6 alkoxy, NO2, C1-6 trihaloalkoxy, C1-6 trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula -OC2CH2-O- attached to adjacent atoms of said R6 group.

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18. (Previously amended): The compound of claim 14 wherein said R6 is any of the radicals from the group consisting of:



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19. (Previously amended): The compound of claim 15 wherein said R<sub>6</sub> is any of the radicals of the group consisting of:

20. (Original): The compound of claim 6 wherein  $R_1$  has the formula - $(CH_2)_q$ -L<sub>4</sub> where q is 0 to 6 and L<sub>4</sub> is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino or -S-heteroaryl, where each of said L<sub>4</sub> is optionally substituted with up to three substituents selected from halogen and  $NO_2$ .

21. (Original): The compound of claim 20 wherein said L<sub>4</sub> is N-maleimidyl, Nsuccinimidyl, N-phthalimidyl, N-naphthalimidyl, N-pyromellitic diimidyl, phenylcarboxamidyl, N-benzopyrrolidinyl, benzimidazol-l-yl,

benzimidazol-2-yl, 1,2,4-triazolyl-4-yl, or purinyl, each of said L<sub>4</sub> groups being optionally substituted with 1 or 2 substituents selected from halogen, trihaloalkyl, trihaloalkoxy and NO<sub>2</sub>.

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Claims 22-62. (Canceled)

63. (Twice amended): A compound of formula:

$$R_{53}$$
 $N_{15}$ 
 $N_{15}$ 
 $N_{15}$ 
 $N_{16}$ 

wherein;

 $R_{52}$  and  $R_{53}$  are each independently selected from H, halogen,  $C_1$ - $C_6$  alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy, NR<sub>15</sub>R<sub>16</sub> wherein said-C<sub>4</sub>-C<sub>6</sub> alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with NR 18 R16; Rus is H, halogen, C, 12 alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylearbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH2(CHOH)2CH2OH; wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R16 is H, halogen, or C1-C6 alkyl, but R16 PR15;

or  $R'_{15}$  and  $R'_{16}$  together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein

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said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO2 and halogen; and z islto 6.

- 64. (canceled): The compound of claim 63 wherein R<sub>15</sub> or R<sub>16</sub> is methyl.
- 65. (Currently Amended): The compound of claim 63 64 wherein z is 2 or 3.
- 66. (Original): The compound of claim 65 wherein R<sub>52</sub> and R<sub>53</sub> are each independently H,  $C_{1-6}$  alkyl, alkoxy optionally substituted with dialkylamino, or alkylamino.
- 67. (Original): The compound of claim 66 wherein  $R_{52}$  is H.
- 68. (Original): The compound of claim 67 wherein R53 is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.
- 69. (Original): The compound of claim 67 wherein R<sub>53</sub> is OCH<sub>3</sub> or O(CH<sub>2</sub>)<sub>3</sub>N(CH<sub>3</sub>)<sub>2</sub>.
- 70. (Original): The compound of claim 66 wherein R<sub>53</sub> is H.
- 71. (Original): The compound of claim 70 wherein R<sub>52</sub> is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.
- 72. (Original): The compound of claim 70 wherein R<sub>52</sub> is OCH<sub>3</sub> or O(CH<sub>2</sub>)<sub>3</sub>N(CH<sub>3</sub>)<sub>2</sub>.
- 73. (previously amended): A compound of Formula:

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$$R_3$$
 $R_{28}$ 
 $R_{30}$ 

wherein:

 $R_{2a}$  is amino, mono- or bicyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, cycloalkyl, halogen, heterocycloalkylalkyl (i .e., alkyl sub w' heterocycloalkyl) having 1 or 2 ring nitrogen atoms, mono- or bicyclic heterocycloalkylamino having 1 or 2 ring nitrogen atoms or a group of formula -S-alkylene- $L_1$  where  $L_1$  is mono- or bicyclic-heteroaryl having 1 or 2 ring nitrogen atoms;

wherein each of said amino, phenyl, heterocycloalkyl, heteroaryl, cycloalkyl, heterocycloalkylalkyl, or heterocycloalkylamino groups can be optionally substituted with a group selected from amino, OH, C<sub>1</sub>-C<sub>12</sub> alkyl, a structure of formula - C(=O)CH(NH<sub>2</sub>)-L<sub>2</sub> where L<sub>2</sub> is the side chain of a naturally occurring alpha amino acid, -C(NH<sub>2</sub>)=NH, C<sub>1</sub>-C<sub>12</sub> alkylcarbonyl, mono- or bicyclic heteroaryl having I or 2 ring nitrogen atoms, mono- or bicyclic heteroarylalkyl having 1 or 2 ring nitrogen atoms, or S-alkyl-heteroaryl where said heteroaryl is mono- or bicyclic having 1 or 2 ring nitrogen atoms; and

 $R_3$  and  $R_4$  are each independently hydrogen, halogen, amino, NO<sub>2</sub>, CN, C<sub>1-6</sub> alkoxy or C<sub>1-6</sub> alkyl optionally substituted with up to 3 halogen atoms;

 $R_{30}$  is H, aryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl, alkoxyalkoxyalkyl, alkyl-S-R<sub>7</sub>, alkyl-NH-C(=O)-R<sub>3</sub> or -R<sub>9</sub>-X-R<sub>10</sub>R<sub>11</sub>)H;

wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heteroarylalkyl, arylsulfonyl, aryloxycarbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R groups can be optionally substituted with up to 3 groups independently

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selected from the group consisting of C<sub>1</sub>-C<sub>6</sub> alkyl, OH, hydroxyalkyl, -C(=O)-R<sub>5</sub>, CN, aryl, alkoxycarbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO<sub>2</sub>, halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl-NR<sub>15</sub>R<sub>16</sub> and NR<sub>15</sub>R<sub>16</sub>;

or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl or alkoxyalkoxyalkyl moieties of one of said  $R_1$  groups can be attached to a structure of Formula I at position  $R_1$  thereof;

R<sub>5</sub> is H, -NHNHR<sub>6</sub>, -NHN=CH-R<sub>6</sub>, heteroaryl, heterocycloalkyl, wherein said hereteroaryl group can be optionally substituted with an aryl or heteroaryl group,

 $R_6$  is aryl, heteroaryl, arylsulfonyl, heteroarylsulfonyl, -C(=S)-NH-aryl, -C(=S)-NH-arylcarbonyl, -C(=S)-NH-heteroarylcarbonyl, -C(=S)-NH-alkylene- $R_{21}$ , -C(=O)-NH-arylcarbonyl, -C(=O)-NH-heteroarylcarbonyl, or -C(=O)-NH-alkylene- $R_{21}$  where  $R_{21}$  is carboxy, alkoxycarbonyl, aryl, heteroaryl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R<sub>6</sub> groups can be optionally substituted with up to 3 groups selected from NR<sub>15</sub>R<sub>16</sub>, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy, arylalkyloxy, NO<sub>2</sub>, -SH, -S-alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula -OC<sub>2</sub>CH<sub>2</sub>-O- attached to adjacent atoms of said R<sub>6</sub> group;

R7 is heteroaryl or heterocycloalkyl;

R<sub>8</sub> is aryl;

 $R_9$  and  $R_{10}$  are each independently alkylene having from 1 to about 20 carbons;

 $X \text{ is } N(R_{12})$ -, - $C(R_{13})(R_{14})$ - or O;

R<sub>11</sub> is H, heterocycloaryl or alkoxy, wherein said heterocycloaryl or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxycarbonyl, and CN;

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R<sub>12</sub> is H or C<sub>1</sub>-C<sub>6</sub> alkyl; and

 $R_{13}$  and  $R_{14}$  are each independently H or  $C_1$ - $C_6$  alkyl;

R<sub>15</sub> is H, halogen, C<sub>1-12</sub> alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH<sub>2</sub>(CHOH)<sub>4</sub>CH<sub>2</sub>OH, wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R<sub>16</sub> is H, halogen, or C<sub>1</sub>-C<sub>6</sub> alkyl;

or  $R_{15}$  and  $R_{16}$  together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from  $NO_2$  and halogen, or a group of Formula I at position  $R_1$  threreof;

or  $R_{15}$  and  $R_{16}$  together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is  $Q_4$  thereof.

- 74. (Original): The compound of claim 73 wherein R<sub>3</sub> and R<sub>4</sub> are each halogen.
- 75. (Original): The compound of claim 73 wherein R<sub>3</sub> and R<sub>4</sub> are each chlorine.
- 76. (Previously amended): The compound of claim 73 wherein R<sub>2a</sub> is amino, Cl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring nitrogen atom, cyclopenyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula -S-(C<sub>24</sub> alkylene)-N-phthalimido; wherein each of said heterocycloalkyl heteroaryl, cyclopenyl, cyclopenyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected- from NH<sub>2</sub>, OH, CH<sub>3</sub>, COOCH<sub>3</sub>, a structure of formula -

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C(=O)CH(NH<sub>2</sub>)-L<sub>2</sub> where L<sub>2</sub> is a serine or threonine side chain, -C(NH<sub>2</sub>)=NH, benzimidazolyl, or benzimidazolemethylyl.

77. (Previously amended): The compound of claim 75 wherein R<sub>2a</sub> is amino, Cl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring nitrogen atom, cyclopenyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula -S-(C24 alkylene)-N-phthalimido;

wherein each of said phenyl, heterocycloalkyl heteroaryl, cyclopenyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected from NH2, OH, CH3, COOCH3, a structure of formula - $C(=O)CH(NH_2)-L_2$  where  $L_2$  is a serine or threonine side chain,  $-C(NH_2)=NH$ , benzimidazole, or benzimidazolemethyl.

- 78. (Previously amended): The compound of claim 73 wherein R<sub>2a</sub> is amino, Cl, piperidinyl, pyridinyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH2-piperazinyl, piperidine-4-ylamino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH2-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH<sub>2</sub>, methylcarbonyl, -C(=0)CH(NH<sub>2</sub>)-CH<sub>2</sub>OH, methyl, OH, -C(NH<sub>2</sub>)=NH, OH, benzimidazole-2-yl, and -CH<sub>2</sub>-benzimidazole-2-yl.
- 79. (Previously amended): The compound of claim 75 wherein R<sub>2a</sub> is amino, Cl, piperidinyl, pyridinyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH2-piperazinyl, piperidine-4-ylamino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH,-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH2, methylcarbonyl, -C(=O)CH(NH2)-CH<sub>2</sub>OH, methyl, OH, -C(NH<sub>2</sub>)=NH, OH, benzimidazole-2-yl, and -CH<sub>2</sub> enzimidazole-2-yl.
- 80. (Previously amended): The compound of claim 73 wherein R<sub>2a</sub> is amino, Cl, pyridin-4-yl,

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substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperazin-l-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-l-yl-methyl optionally substituted at the 4-yl position by -CH2-benzimidazole-2-yl, piperidine-4-ylamino, piperidin-l-yl substituted by amino, S-alkyl-phthalyl, or said R2 is piperidin-4-yl optionally substituted at the 1-yl position with -C(=0)CH3, -C(=0)CH(NH2)-CH2OH, -C(NH<sub>2</sub>)=NH, or CH<sub>3</sub>.

- 81. (Previously amended): The compound of claim 75 wherein R<sub>2a</sub> is amino, Cl, pyridin-4-yl, substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperdin-l-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by -CH2-benzimidazole-2-yl, piperidine-4-ylamino, piperidin-l-yl substituted by amino, S-alkyl-phthalyl, or said R2 is piperidin-4-yl optionally substituted at the 1-yl position with -C(=O)CH3, -C(=O)CH(NH2)-CH2OH,  $-C(NH_2)=NH$ , or  $CH_3$ .
- 82. (Original): The compound of claim 73 wherein R<sub>2a</sub> is amino, piperidin-4-ylamino, piperiazine-1-yl optionally substituted with benzimidazole-2-yl, pyridin-4-yl, piperidin-4yl optionally substituted at the 1-yl position with -C(=O)CH<sub>3</sub>, -C(=O)CH(NH<sub>2</sub>)-CH<sub>2</sub>OH, -C(NH<sub>2</sub>)=NH, or CH<sub>3</sub>, 4-amino-piperdin-l-yl, 3-amino-phen-l-yl, 3-amino-cyclopent-l-yl, cyclohexyl optionally substituted at the 3-yl or 4-yl position with NH<sub>2</sub>, 4-hydroxypyrrolidin-2-yl, piperazin-1-yl-methyl, 4-(benzimidazole-2-yl-methyl)piperazin-lylmethyl, or S-alkyl-phthalyl where said alkyl has from 2 to 4 carbons.
- 83. (Original): The compound of claim 73 wherein R<sub>2a</sub> is piperidin-4-yl optionally substituted at the 1-yl position with -C(=O)CH<sub>3</sub>, -C(=O)CH(NH<sub>2</sub>)-CH<sub>2</sub>OH, -C(NH<sub>2</sub>)=NH, or CH<sub>3</sub>.

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- 84. (Original): The compound of claim 75 wherein  $R_{2a}$  is piperidin-4-yl optionally substituted at the 1-yl position with  $-C(=O)CH_3$ ,  $-C(=O)CH(NH_2)-CH_2OH$ ,  $-C(NH_2)=NH$ , or  $CH_3$ .
- 85. (Original): The compound of claim 73 wherein R<sub>2a</sub> is piperidin-4-yl.
- 86. (Original): The compound of claim 75 wherein  $R_{2a}$  is piperidin-4-yl.
- 87. (Original): The compound of claim 73 wherein  $R_{2a}$  is  $NH_2$ .
- 88. (Original): The compound of claim 75 wherein R<sub>23</sub> is NH<sub>2</sub>.
- 89. (Original): The compound of claim 86 wherein R<sub>30</sub> is alkyl substituted with -C(=O)-R<sub>5</sub>.
- 90. (Original): The compound of claim 89 wherein R<sub>5</sub> is -NHNHR<sub>6</sub>, or -NHN=CH-R<sub>6</sub>.
- 91. (Original): The compound of claim 90 wherein R<sub>5</sub> is -NHNHR<sub>6</sub>.
- 92. (Original): The compound of claim 90 wherein R<sub>5</sub> is -NHN=CH-R<sub>6</sub>.
- 93. (Original): The compound of claim 91 wherein R<sub>6</sub> is -C(=O)-NH-aryl, -C(=O)-NH-cycloalkyl, -C(=S)-NH-aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, -C(=S)-NH-alkylene-R<sub>21</sub> where R<sub>21</sub> is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R<sub>6</sub> groups can be optionally substituted with up to 3 groups selected from NR<sub>15</sub>R<sub>16</sub>, NO<sub>2</sub>, a moiety of formula -OC<sub>2</sub>CH<sub>2</sub>-O- attached to adjacent atoms

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of said  $R_6$  group, aryl,  $C_{1-6}$  alkoxy, carboxy, or  $C_{1-6}$  trihaloalkoxy.

94. (Original): The compound of claim 92 wherein  $R_6$  is anyl or heteroaryl optionally substituted with up to 3 groups selected from OH, C1-6 alkoxy, NO2, C1-6 trihaloalkoxy, C1-6 trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula -OC2CH2O- attached to adjacent atoms of said R6 group.

95. (Cancelled).

96. (Original): The compound of claim 86 wherein  $R_{30}$  has the formula -(CH<sub>2</sub>)<sub>q</sub>-L<sub>4</sub> where q is 0 to 6 and L4 is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino or -S-heteroaryl, where each of said L4 is optionally substituted with up to three substituents selected from halogen and NO2.

97. (Original): The compound of claim 96 wherein said L4 is maleimido, succinimido, phthalimido, naphthalimido, pyromellitic diimido, phenylsulfonamido, phenylcarboxamido, benzopyrrolidine, benzimidazole, triazole, or -S-benzimidazole.

Claims 98-106 (Canceled)